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### INTERMEDIATE OF PEPTIDE HYDROLYSIS

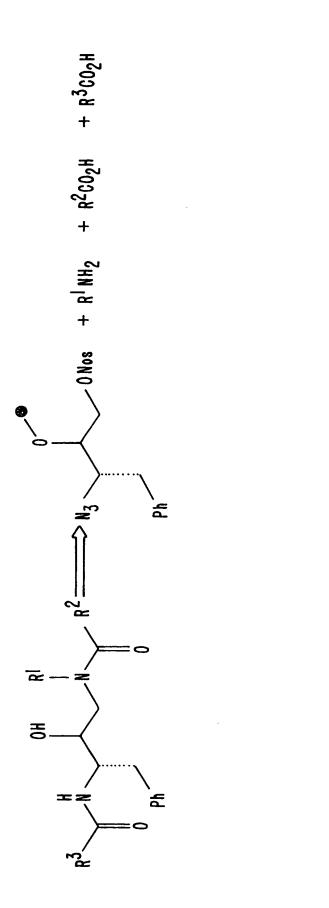
$$R^{3} \xrightarrow{H} \stackrel{OH}{\underset{R^{4}}{\bigvee}} \stackrel{R^{1}}{\underset{N}{\bigvee}} R^{2} \qquad R^{3} \xrightarrow{H} \stackrel{OH}{\underset{R^{4}}{\bigvee}} \stackrel{R^{1}}{\underset{N}{\bigvee}} R^{2}$$

HYDROXYETHYLAMINE-BASED INHIBITORS

FIG. 1.

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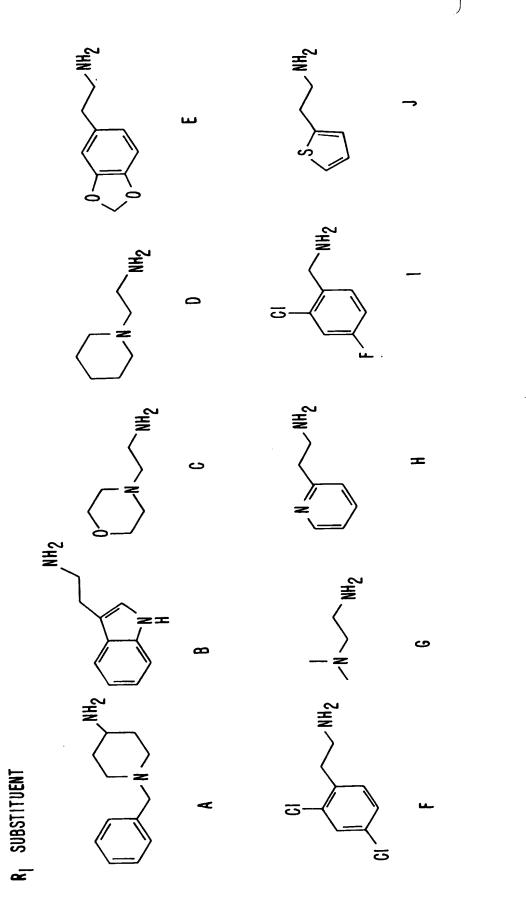
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## P<sub>3</sub> P<sub>2</sub> P<sub>1</sub> P<sub>1</sub> P<sub>2</sub> P<sub>3</sub>

#### FOUR CONFORMATIONAL FAMILIES

FIG. 3.

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R2 SUBSTITUENT

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$$R_1$$
 SUBSTITUENT 
$$\begin{cases} 0 & \text{NH}_2 \\ \text{o} & \text{o} \\ \text{o} \\ \text{o} & \text{o} \\ \text{o} \\ \text{o} & \text{o} \\ \text{o} \\ \text{o} \\ \text{o} & \text{o} \\ \text{o} \\ \text{o} \\ \text{o} & \text{o} \\ \text$$

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R3 SUBSTITUENT

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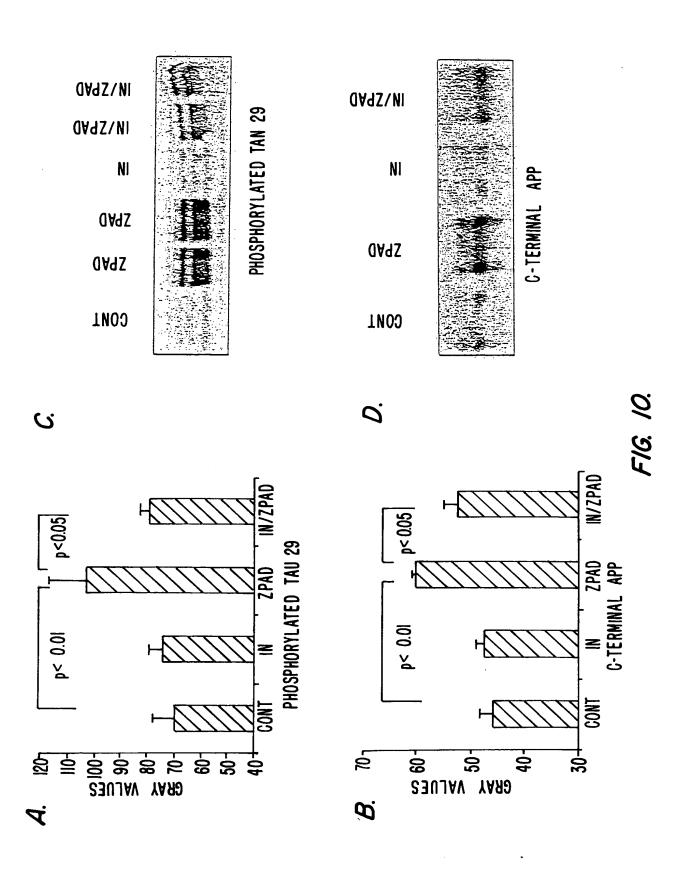
# R<sub>2</sub> SUBSTITUENT

FIG. 6B.

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F16. 9.



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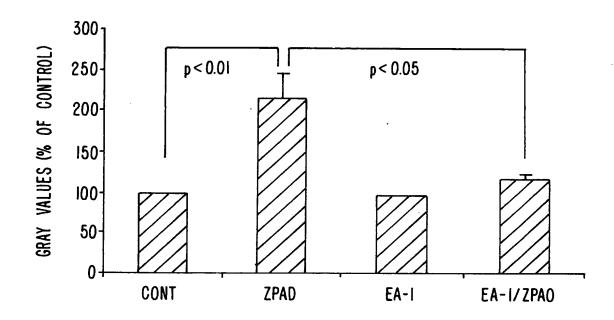
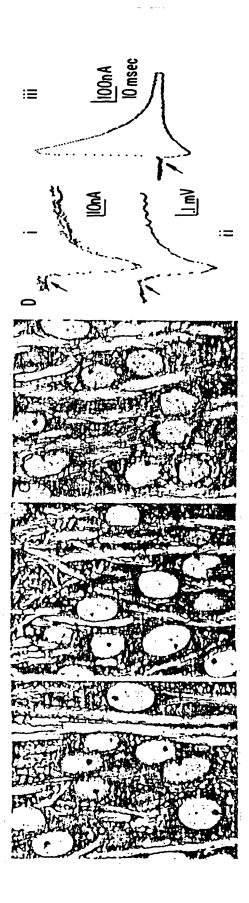


FIG. II.

NAME	STRUCTURES	MOLECULAR WEIGHT	Ki (nM)
CEL5-A	OMe CI ON O O O O O O O	839.6	0.7
CEL5-G	CI Br O N N N N O N O	697.2	15
EA-I	CI H OH N TO	650	1.9

F1G. 12.

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F1G. 13.

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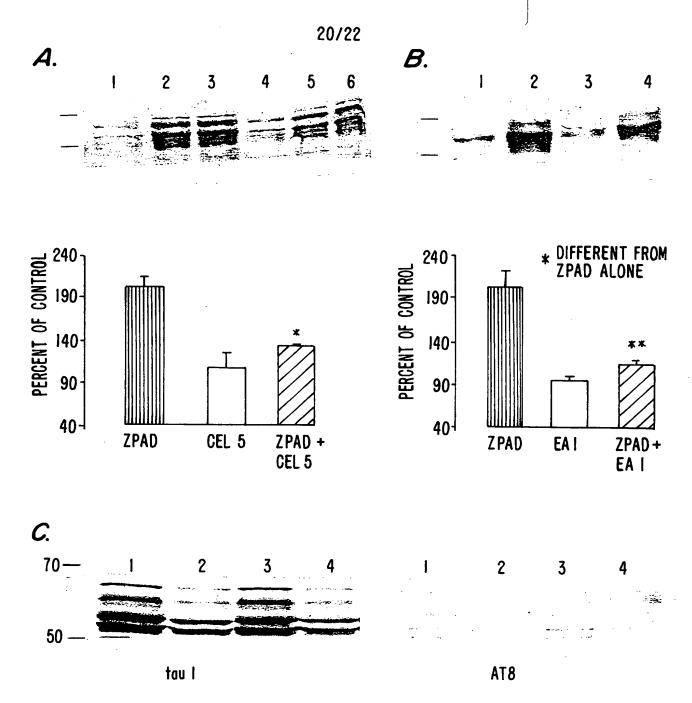


FIG. 14.

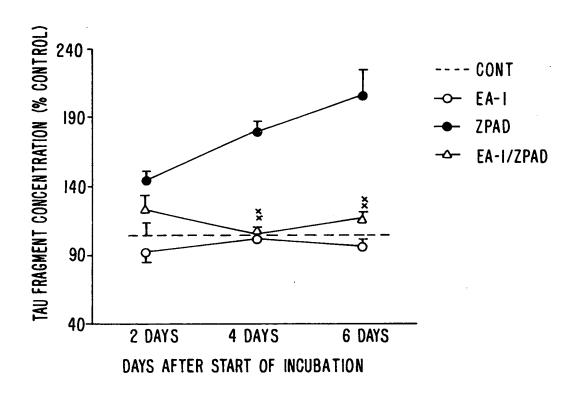


FIG. 15A.

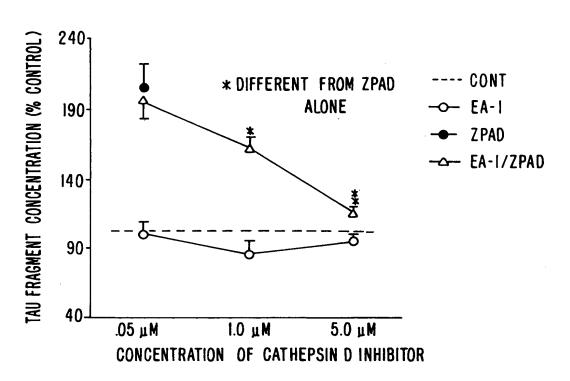
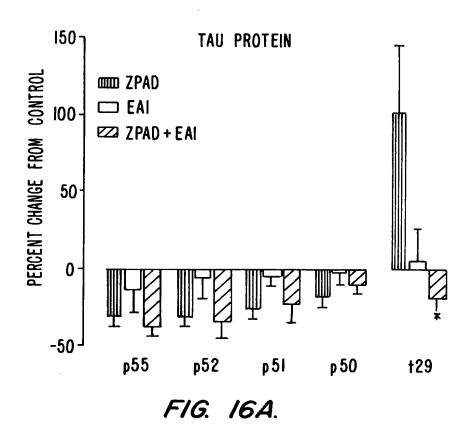


FIG. 15B.



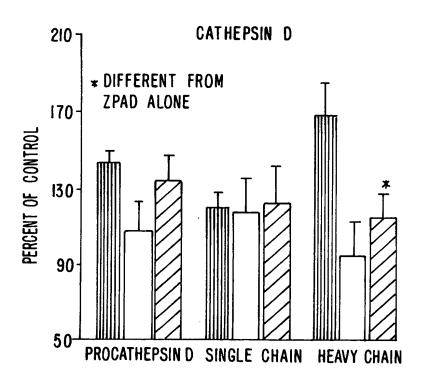


FIG. 16B.